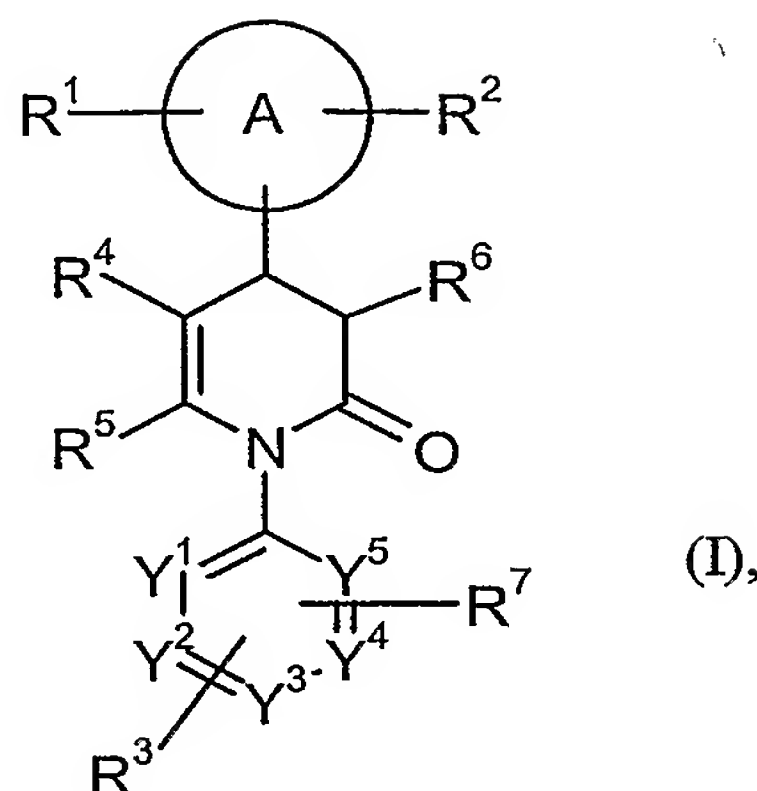


We claim

1. Compounds of the general formula (I)



wherein

5 A represents an aryl or heteroaryl ring,

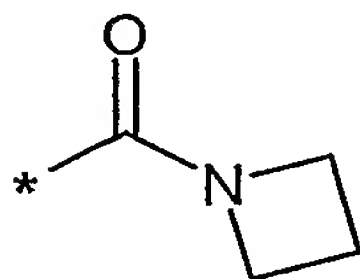
10 R¹, R² and R³ independently from each other represent hydrogen, halogen, nitro, cyano, trifluoromethyl, C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy or trifluoromethoxy, wherein C₁-C₆-alkyl and C₁-C₆-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of hydroxy and C₁-C₄-alkoxy,

15 R⁴ represents C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, C₂-C₆-alkenoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di-C₁-C₆-alkylaminocarbonyl, C₃-C₆-cycloalkylaminocarbonyl, N-(heterocyclyl)-aminocarbonyl or cyano, wherein C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, mono- and di-C₁-C₆-alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy, C₁-C₄-alkoxy, hydroxycarbonyl, C₁-C₄-alkoxycarbonyl, amino, mono- and di-C₁-C₄-alkylamino, aminocarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkylcarbonylamino, phenyl, heteroaryl and heterocyclyl, and wherein phenyl can be further substituted with halogen and wherein N-(heterocyclyl)-aminocarbonyl can be further substituted with C₁-C₄-alkyl or benzyl,

20 R⁵ represents C₁-C₄-alkyl,

 R⁶ represents

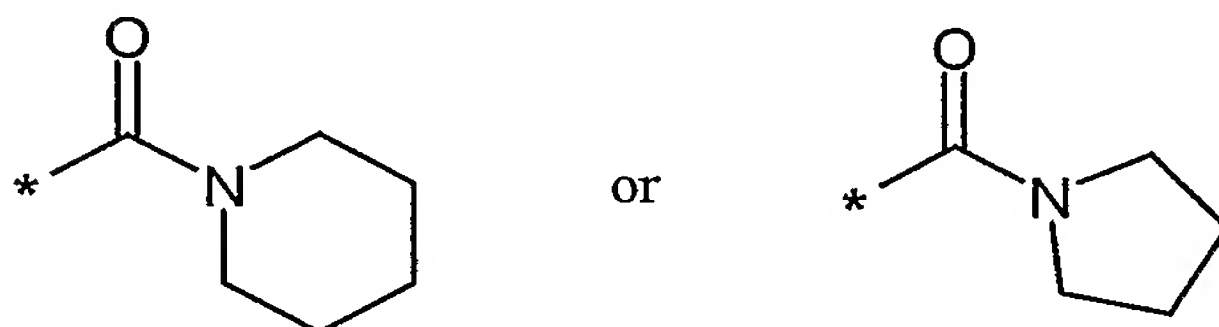
- a group of the formula



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which can be substituted by up to two radicals independently selected from the group consisting of C₁-C₆-alkyl, C₁-C₆-alkoxy, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl and phenoxy which for its part can be further substituted by halogen or trifluoromethyl,

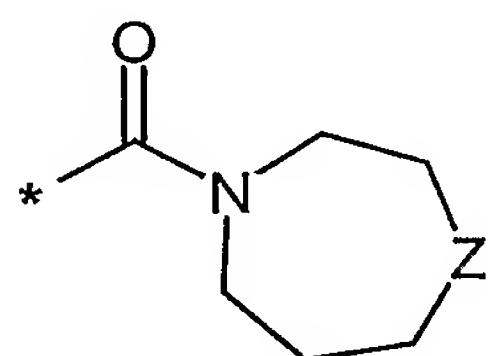
- a group of the formula



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which are substituted by one or two radicals independently selected from the group consisting of C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl, C₁-C₆-alkoxycarbonylamino, oxo, *N*-C₁-C₆-alkylimino, *N*-C₁-C₆-alkoxyimino, benzyl and 5- to 6-membered heterocyclyl which for its part can be further substituted by C₁-C₄-alkyl,

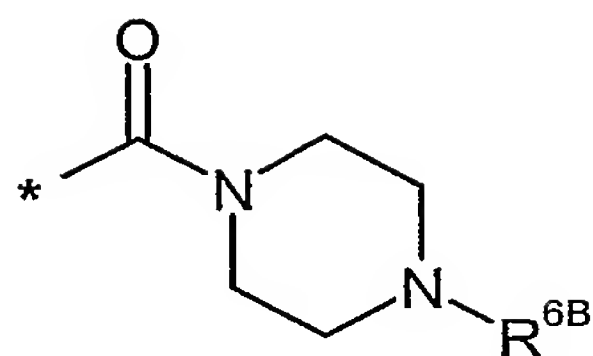
- a group of the formula



15

wherein Z represents CH₂ or N-R^{6A}, wherein R^{6A} represents hydrogen, C₁-C₆-alkyl, C₁-C₆-alkylcarbonyl or C₁-C₆-alkoxycarbonyl,

- a group of the formula



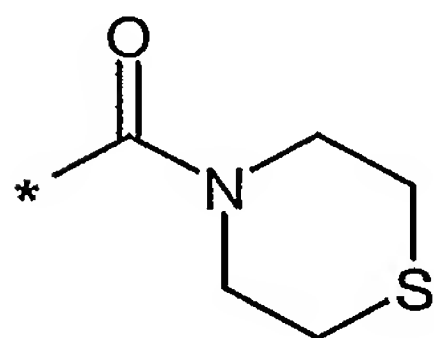
wherein R^{6B} is selected from the group consisting of

- phenyl or 5- to 6-membered heteroaryl each of which can be further substituted by up to three radicals independently selected from the group consisting of halogen, trifluoromethyl, nitro, cyano, C_1 - C_6 -alkyl, hydroxycarbonyl, C_1 - C_6 -alkoxycarbonyl and C_1 - C_6 -alkylcarbonyl,
- C_3 - C_8 -cycloalkyl
- C_1 - C_6 -alkyl which is substituted by hydroxy, C_1 - C_6 -alkoxy, di- C_1 - C_6 -alkylamino, hydroxycarbonyl, C_1 - C_6 -alkoxycarbonyl, 5- to 6-membered heterocyclyl or by 5- to 6-membered heteroaryl or phenyl which for their part can be further substituted by up to three radicals independently selected from the group consisting of C_1 - C_4 -alkyl, halogen and hydroxycarbonyl,
- 5- to 6-membered heteroarylcarbonyl

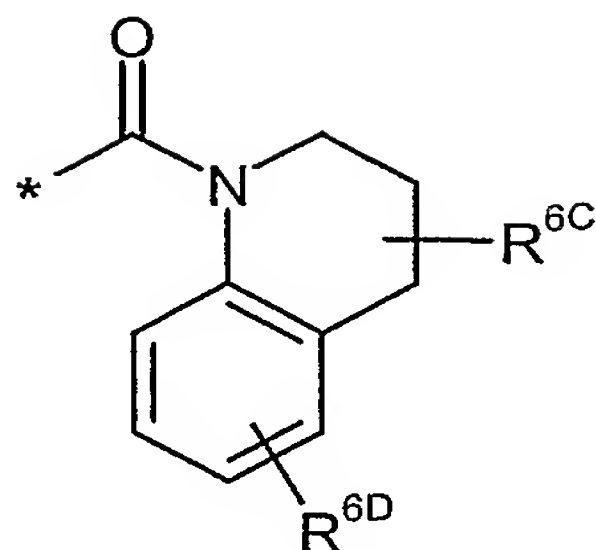
and

- C_1 - C_6 -alkoxycarbonyl,

— a group of the formula

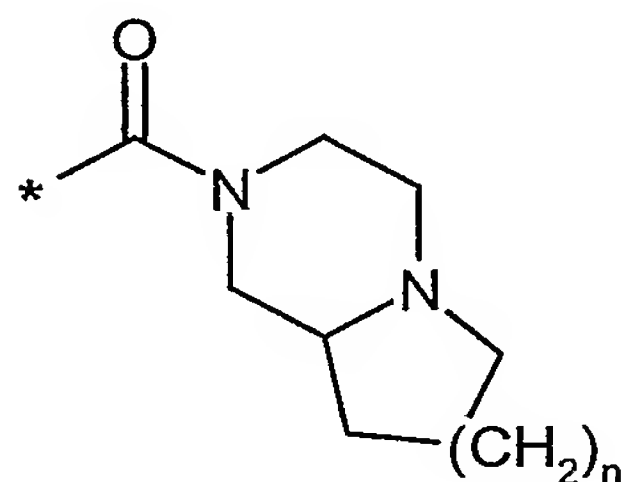


— a group of the formula



wherein R^{6C} represents hydrogen or C_1 - C_4 -alkyl, and R^{6D} represents hydrogen or halogen,

– a group of the formula



5

wherein n represents an integer of 1 or 2,

– mono- or di- C_1 - C_6 -alkylaminocarbonyl wherein the alkyl moiety or at least one alkyl moiety, respectively, is substituted by

10

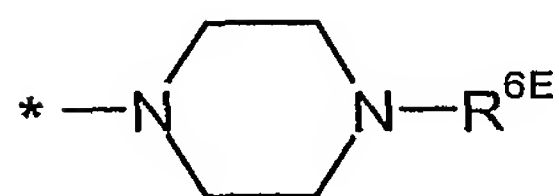
- phenyl or 5- to 6-membered heteroaryl each of which are further substituted by one, two or three radicals independently selected from the group consisting of halogen, nitro, cyano, trifluoromethyl, C_1 - C_4 -alkyl, hydroxy, C_1 - C_4 -alkoxy, trifluoromethoxy, di- C_1 - C_4 -alkylamino, hydroxycarbonyl and C_1 - C_4 -alkoxycarbonyl,

15

- C_1 - C_6 -alkoxy which is further substituted by hydroxy, C_1 - C_4 -alkoxy, di- C_1 - C_4 -alkylamino, C_1 - C_4 -alkoxycarbonyl or hydroxycarbonyl,
- phenoxy
- N - C_1 - C_4 -alkyl- N -phenylamino
- C_3 - C_8 -cycloalkyl
- cyano

or by

- a group of the formula



5

wherein $\text{R}^{6\text{E}}$ represents $\text{C}_1\text{-C}_6\text{-alkyl}$, $\text{C}_1\text{-C}_6\text{-alkylcarbonyl}$, $\text{C}_1\text{-C}_6\text{-alkoxy-}$
carbonyl or phenyl which for its part can be further substituted by halogen,
 $\text{C}_1\text{-C}_4\text{-alkyl}$ or $\text{C}_1\text{-C}_4\text{-alkoxy}$,

10

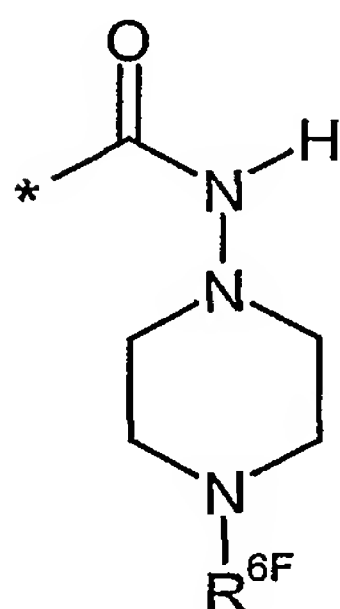
- $\text{N-C}_1\text{-C}_6\text{-alkyl-N-C}_3\text{-C}_8\text{-cycloalkylaminocarbonyl}$ wherein the alkyl moiety can be further substituted by phenyl, 5- to 6-membered heteroaryl, hydroxycarbonyl or $\text{C}_1\text{-C}_6\text{-alkoxycarbonyl}$,

15

- arylaminocarbonyl wherein the aryl moiety is further substituted by one, two or three radicals independently selected from the group consisting of trifluoromethyl and $\text{C}_1\text{-C}_4\text{-alkyl}$,
- $\text{N-C}_1\text{-C}_6\text{-alkyl-N-arylaminocarbonyl}$ wherein the aryl moiety is substituted by one, two or three radicals independently selected from the group consisting of $\text{C}_1\text{-C}_4\text{-alkyl}$ and halogen, and/or wherein the alkyl moiety is substituted by phenyl,

or

- a group of the formula



20

wherein $\text{R}^{6\text{F}}$ represents hydrogen, $\text{C}_1\text{-C}_6\text{-alkyl}$, $\text{C}_1\text{-C}_6\text{-alkylcarbonyl}$ or $\text{C}_1\text{-C}_6\text{-alkoxycarbonyl}$,

R^7 represents hydrogen, halogen, nitro, cyano, trifluoromethyl, $\text{C}_1\text{-C}_6\text{-alkyl}$, hydroxy, $\text{C}_1\text{-C}_6\text{-alkoxy}$ or trifluoromethoxy, wherein $\text{C}_1\text{-C}_6\text{-alkyl}$ and $\text{C}_1\text{-C}_6\text{-alkoxy}$ can be

further substituted with one to three identical or different radicals selected from the group consisting of hydroxy and C₁-C₄-alkoxy,

and

Y¹, Y², Y³, Y⁴ and Y⁵ independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms,

and their salts, hydrates and/or solvates, and their tautomeric forms.

2. Compounds of general formula (I) according to Claim 1, wherein

A represents an aryl or heteroaryl ring,

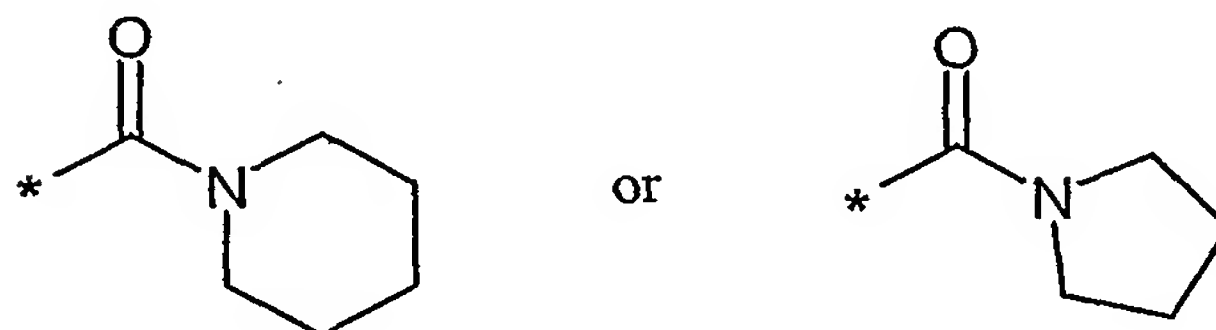
R¹, R² and R³ independently from each other represent hydrogen, halogen, nitro, cyano, trifluoromethyl, C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy or trifluoromethoxy, wherein C₁-C₆-alkyl and C₁-C₆-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of hydroxy and C₁-C₄-alkoxy,

R⁴ represents C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di-C₁-C₄-alkylaminocarbonyl or cyano, wherein C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy, C₁-C₄-alkoxy, hydroxycarbonyl, C₁-C₄-alkoxycarbonyl, amino, mono- and di-C₁-C₄-alkylamino, aminocarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkylcarbonylamino and heteroaryl,

R⁵ represents C₁-C₄-alkyl,

R⁶ represents

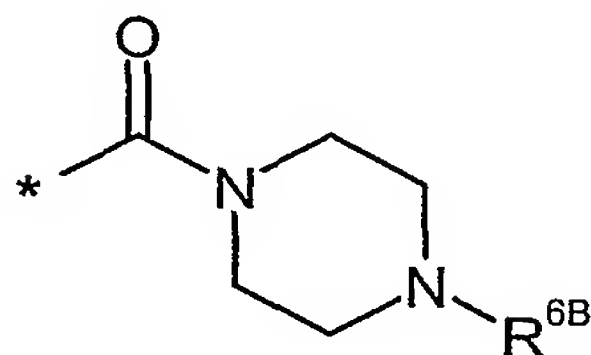
— a group of the formula



which are substituted by one or two radicals independently selected from the group consisting of C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy, hydroxycarbonyl, C₁-C₆-alkoxy-

carbonyl, C₁-C₆-alkoxycarbonylamino, oxo, pyrrolidino, piperidino and morpholino,

— a group of the formula



5 wherein R^{6B} is selected from the group consisting of

- phenyl or pyridyl each of which can be further substituted by up to three radicals independently selected from the group consisting of halogen, trifluoromethyl, nitro, cyano, C₁-C₆-alkyl, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl and C₁-C₆-alkylcarbonyl,

- 10
- C₁-C₆-alkyl which is substituted by hydroxy, C₁-C₆-alkoxy, di-C₁-C₆-alkylamino, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl, 5- to 6-membered heterocyclyl or by 5- to 6-membered heteroaryl or phenyl which for their part can be further substituted by up to three radicals independently selected from the group consisting of C₁-C₄-alkyl, halogen and hydroxycarbonyl,

15 and

- C₁-C₆-alkoxycarbonyl,

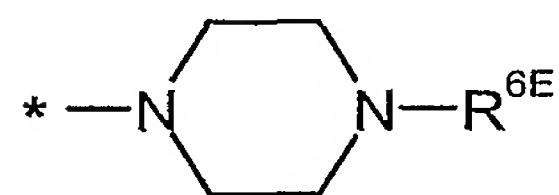
— mono- or di-C₁-C₆-alkylaminocarbonyl wherein the alkyl moiety or at least one alkyl moiety, respectively, is substituted by

- 20
- phenyl or 5- to 6-membered heteroaryl each of which are further substituted by one, two or three radicals independently selected from the group consisting of halogen, nitro, cyano, trifluoromethyl, C₁-C₄-alkyl, hydroxy, C₁-C₄-alkoxy, trifluoromethoxy, di-C₁-C₄-alkylamino, hydroxycarbonyl and C₁-C₄-alkoxycarbonyl,

- 25
- C₁-C₆-alkoxy which is further substituted by hydroxy, C₁-C₄-alkoxy, di-C₁-C₄-alkylamino, C₁-C₄-alkoxycarbonyl or hydroxycarbonyl,

or by

- a group of the formula



wherein $\text{R}^{6\text{E}}$ represents $\text{C}_1\text{-C}_6\text{-alkyl}$, $\text{C}_1\text{-C}_6\text{-alkylcarbonyl}$, $\text{C}_1\text{-C}_6\text{-alkoxy-}$
carbonyl or phenyl which for its part can be further substituted by halogen,
5 $\text{C}_1\text{-C}_4\text{-alkyl}$ or $\text{C}_1\text{-C}_4\text{-alkoxy}$,

or

- $N\text{-C}_1\text{-C}_6\text{-alkyl-N-C}_3\text{-C}_8\text{-cycloalkylaminocarbonyl}$ wherein the alkyl moiety can be
further substituted by phenyl, 5- to 6-membered heteroaryl, hydroxycarbonyl or
 $\text{C}_1\text{-C}_6\text{-alkoxycarbonyl}$,

- 10 R^7 represents hydrogen, halogen, nitro, cyano, trifluoromethyl, $\text{C}_1\text{-C}_6\text{-alkyl}$, hydroxy,
 $\text{C}_1\text{-C}_6\text{-alkoxy}$ or trifluoromethoxy, wherein $\text{C}_1\text{-C}_6\text{-alkyl}$ and $\text{C}_1\text{-C}_6\text{-alkoxy}$ can be
further substituted with one to three identical or different radicals selected from
the group consisting of hydroxy and $\text{C}_1\text{-C}_4\text{-alkoxy}$,

and

- 15 $\text{Y}^1, \text{Y}^2, \text{Y}^3, \text{Y}^4$ and Y^5 independently from each other represent CH or N, wherein the ring
contains either 0, 1 or 2 nitrogen atoms.

3. Compounds of general formula (I) according to Claim 1 or 2, wherein

A represents a phenyl or pyridyl ring,

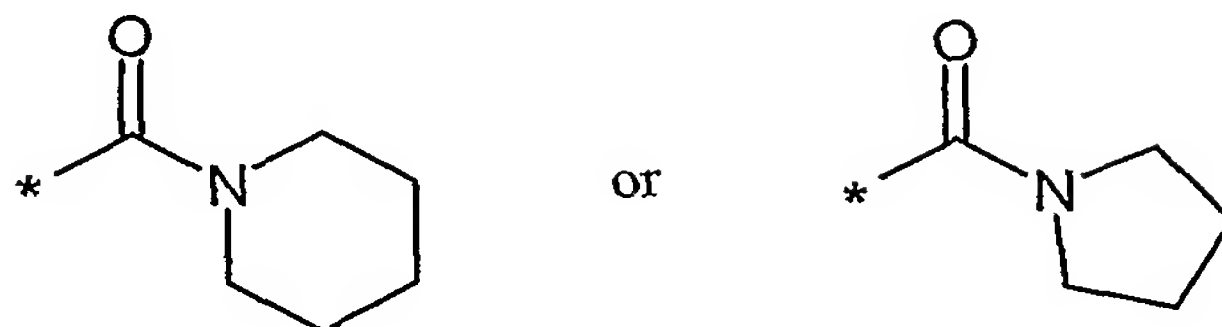
- 20 R^1, R^2 and R^3 independently from each other represent hydrogen, fluoro, chloro, bromo,
nitro, cyano, methyl, ethyl, trifluoromethyl or trifluoromethoxy,

- R^4 represents $\text{C}_1\text{-C}_6\text{-alkylcarbonyl}$, $\text{C}_1\text{-C}_6\text{-alkoxycarbonyl}$ or cyano, wherein $\text{C}_1\text{-C}_6\text{-}$
alkylcarbonyl and $\text{C}_1\text{-C}_6\text{-alkoxycarbonyl}$ can be substituted with one to two
identical or different radicals selected from the group consisting of hydroxy,
methoxy, hydroxycarbonyl, methoxycarbonyl, amino, mono- and di- $\text{C}_1\text{-C}_4\text{-alkyl-}$
25 amino,

R^5 represents methyl,

R^6 represents

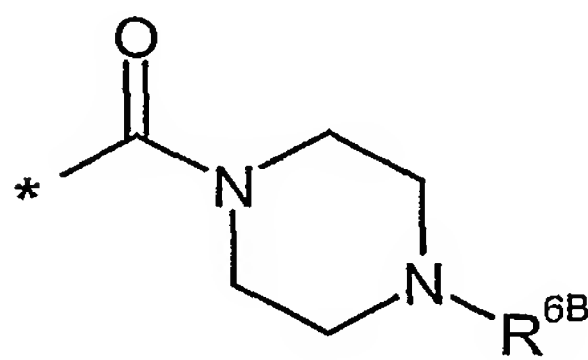
— a group of the formula



5

which are substituted by one or two radicals independently selected from the group consisting of C_1 - C_4 -alkyl, hydroxy, C_1 - C_4 -alkoxy, hydroxycarbonyl, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -alkoxycarbonylamino, oxo, pyrrolidino, piperidino and morpholino,

— a group of the formula



10

wherein R^{6B} is selected from the group consisting of

15

- phenyl or pyridyl each of which can be further substituted by up to three radicals independently selected from the group consisting of fluoro, chloro, trifluoromethyl, nitro, cyano, C_1 - C_4 -alkyl, hydroxycarbonyl, C_1 - C_4 -alkoxycarbonyl and C_1 - C_4 -alkylcarbonyl,
- C_1 - C_4 -alkyl which is substituted by hydroxy, C_1 - C_4 -alkoxy, di- C_1 - C_4 -alkylamino, hydroxycarbonyl, C_1 - C_4 -alkoxycarbonyl, tetrahydrofuryl, morpholinyl, thienyl or by phenyl which for its part can be further substituted by up to three radicals independently selected from the group consisting of C_1 - C_4 -alkyl, fluoro, chloro and hydroxycarbonyl,

20

and

- C_1 - C_4 -alkoxycarbonyl,

— mono- or di- C_1 - C_4 -alkylaminocarbonyl wherein the alkyl moiety or at least one alkyl moiety, respectively, is substituted by

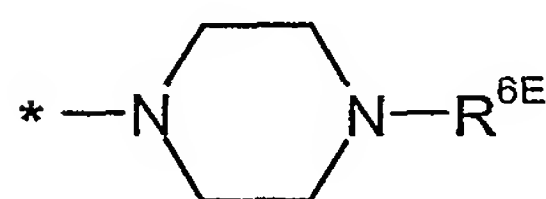
5

- phenyl, pyridyl or pyrimidinyl each of which are further substituted by one, two or three radicals independently selected from the group consisting of fluoro, chloro, nitro, cyano, trifluoromethyl, C₁-C₄-alkyl, hydroxy, C₁-C₄-alkoxy, trifluoromethoxy, di-C₁-C₄-alkylamino, hydroxycarbonyl and C₁-C₄-alkoxycarbonyl,
- C₁-C₄-alkoxy which is further substituted by hydroxy, C₁-C₄-alkoxy, di-C₁-C₄-alkylamino, C₁-C₄-alkoxycarbonyl or hydroxycarbonyl,

or by

- a group of the formula

10



wherein R^{6E} represents C₁-C₄-alkyl, C₁-C₄-alkylcarbonyl, C₁-C₄-alkoxy-carbonyl or phenyl which for its part can be further substituted by fluoro, chloro, C₁-C₄-alkyl or C₁-C₄-alkoxy,

or

15

- N-C₁-C₄-alkyl-N-C₃-C₆-cycloalkylaminocarbonyl wherein the alkyl moiety can be further substituted by phenyl, furyl, pyridyl, hydroxycarbonyl or C₁-C₄-alkoxy-carbonyl,

R⁷ represents hydrogen, halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, methyl or ethyl,

20

and

Y¹, Y², Y³, Y⁴ and Y⁵ each represent CH.

4. Compounds of general formula (I) according to Claim 1, 2 or 3, wherein

A represents a phenyl ring,

R¹ represents hydrogen,

25

R² represents cyano, bromo or nitro,

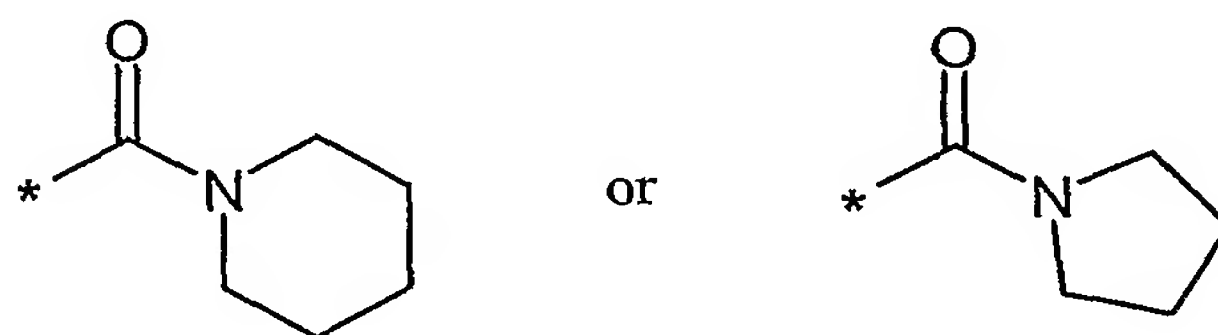
R³ represents hydrogen,

R⁴ represents C₁-C₄-alkylcarbonyl, C₁-C₄-alkoxycarbonyl or cyano, wherein C₁-C₄-alkylcarbonyl and C₁-C₄-alkoxycarbonyl can be substituted with hydroxycarbonyl or C₁-C₄-alkoxycarbonyl,

5 R⁵ represents methyl,

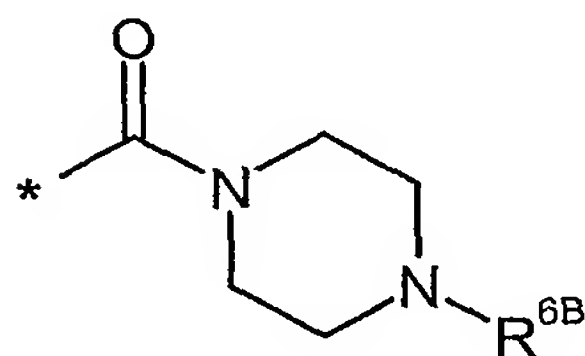
R⁶ represents

— a group of the formula



10 which are substituted by one or two radicals independently selected from the group consisting of C₁-C₄-alkyl, hydroxy, C₁-C₄-alkoxy, hydroxycarbonyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-alkoxycarbonylamino, oxo, pyrrolidino, piperidino and morpholino,

— a group of the formula



15 wherein R^{6B} is selected from the group consisting of

- phenyl or pyridyl each of which can be further substituted by up to three radicals independently selected from the group consisting of fluoro, chloro, trifluoromethyl, nitro, cyano, C₁-C₄-alkyl, hydroxycarbonyl, C₁-C₄-alkoxycarbonyl and C₁-C₄-alkylcarbonyl,
- 20 • C₁-C₄-alkyl which is substituted by hydroxy, C₁-C₄-alkoxy, di-C₁-C₄-alkylamino, hydroxycarbonyl, C₁-C₄-alkoxycarbonyl, tetrahydrofuryl, morpholinyl, thienyl or by phenyl which for its part can be further substituted by up

to three radicals independently selected from the group consisting of C₁-C₄-alkyl, fluoro, chloro and hydroxycarbonyl,

and

- C₁-C₄-alkoxycarbonyl,

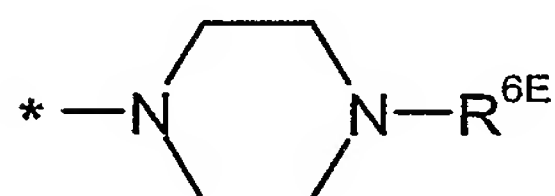
5 – mono- or di-C₁-C₄-alkylaminocarbonyl wherein the alkyl moiety or at least one alkyl moiety, respectively, is substituted by

- phenyl, pyridyl or pyrimidinyl each of which are further substituted by one, two or three radicals independently selected from the group consisting of fluoro, chloro, nitro, cyano, trifluoromethyl, C₁-C₄-alkyl, hydroxy, C₁-C₄-alkoxy, trifluoromethoxy, di-C₁-C₄-alkylamino, hydroxycarbonyl and C₁-C₄-alkoxycarbonyl,

- C₁-C₄-alkoxy which is further substituted by hydroxy, C₁-C₄-alkoxy, di-C₁-C₄-alkylamino, C₁-C₄-alkoxycarbonyl or hydroxycarbonyl,

or by

- a group of the formula



wherein R^{6E} represents C₁-C₄-alkyl, C₁-C₄-alkylcarbonyl, C₁-C₄-alkoxy-carbonyl or phenyl which for its part can be further substituted by fluoro, chloro, C₁-C₄-alkyl or C₁-C₄-alkoxy,

20 or

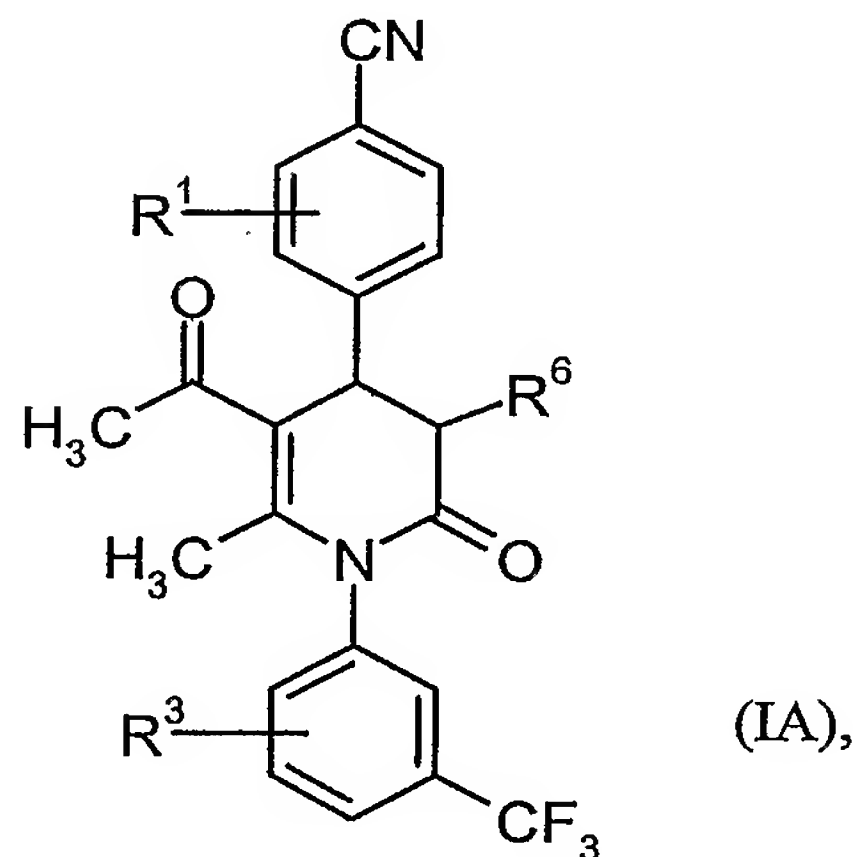
- N-C₁-C₄-alkyl-N-C₃-C₆-cycloalkylaminocarbonyl wherein the alkyl moiety can be further substituted by phenyl, furyl, pyridyl, hydroxycarbonyl or C₁-C₄-alkoxy-carbonyl,

R⁷ represents trifluoromethyl or nitro,

25 and

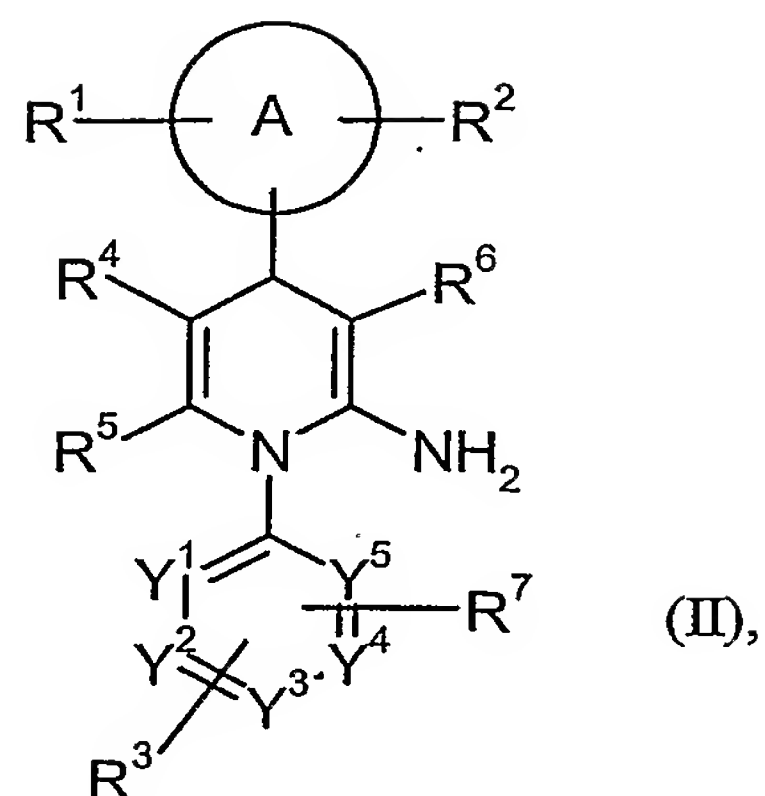
Y¹, Y², Y³, Y⁴ and Y⁵ each represent CH.

5. Compounds of general formula (I) according to at least one of Claims 1 to 4, wherein A is phenyl.
6. Compounds of general formula (I) according to at least one of Claims 1 to 5, wherein R¹ is hydrogen.
- 5 7. Compounds of general formula (I) according to at least one of Claims 1 to 6, wherein R² is cyano.
8. Compounds of general formula (I) according to at least one of Claims 1 to 7, wherein R³ is hydrogen.
9. Compounds of general formula (I) according to at least one of Claims 1 to 8, wherein R⁴ is acetyl, methoxycarbonyl, ethoxycarbonyl or cyano.
- 10 10. Compounds of general formula (I) according to at least one of Claims 1 to 9, wherein R⁵ is methyl.
11. Compounds of general formula (I) according to at least one of Claims 1 to 10, wherein R⁷ is trifluoromethyl or nitro.
- 15 12. Compounds of general formula (IA)



wherein R¹, R³ and R⁶ have the meaning indicated in Claims 1 to 11.

13. Processes for synthesizing the compounds of general formula (I) or (IA), respectively, as defined in Claims 1 to 12, characterized in that
- 20 [A] compounds of general formula (II)

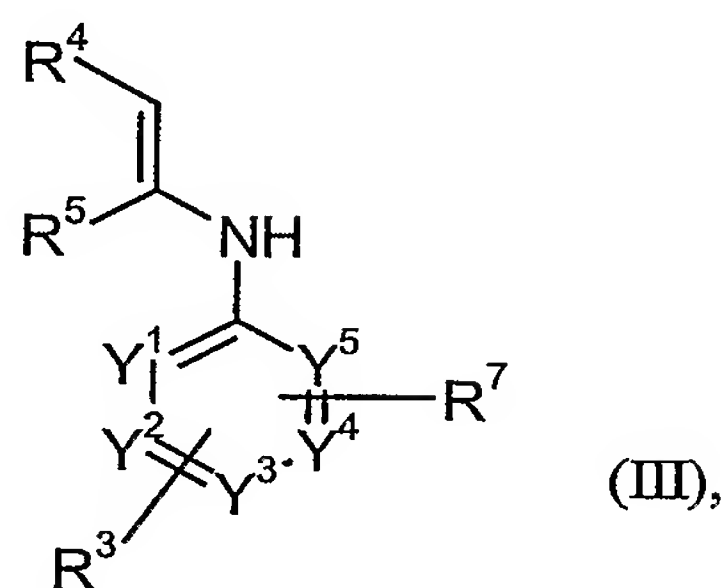


wherein R^1 to R^7 , A and Y^1 to Y^5 have the meaning indicated in Claims 1 to 12,

are hydrolyzed with water,

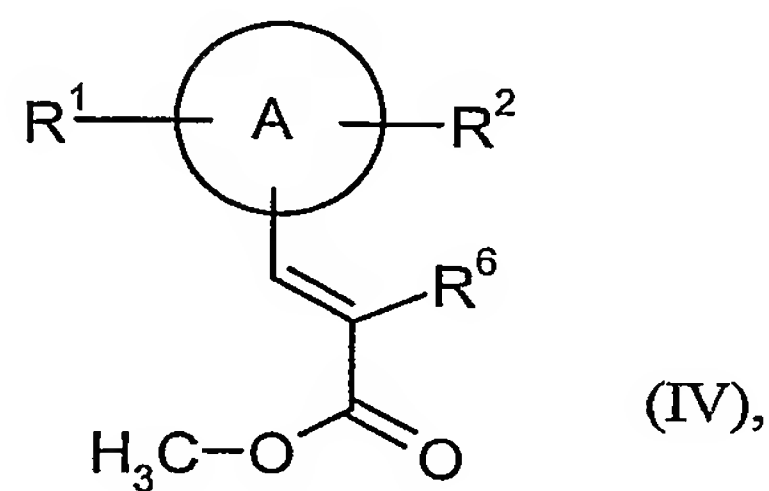
or

5 [B] compounds of general formula (III)



wherein R^3 , R^4 , R^5 , R^7 , and Y^1 to Y^5 have the meaning indicated in Claims 1 to 12,

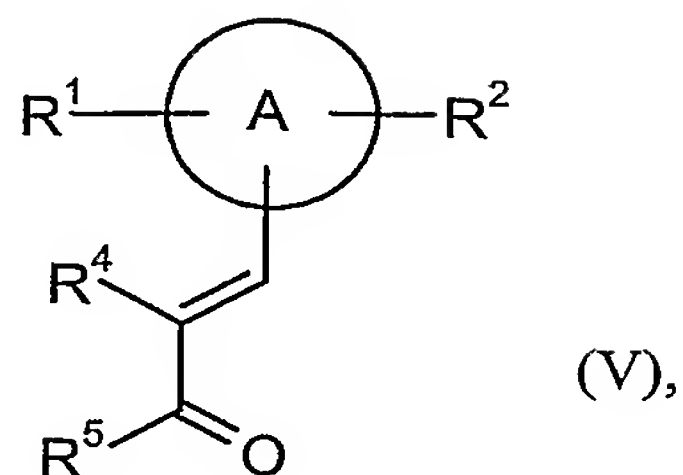
are reacted with compounds of general formula (IV)



10 wherein R^1 , R^2 , R^6 and A have the meaning indicated in Claims 1 to 12,

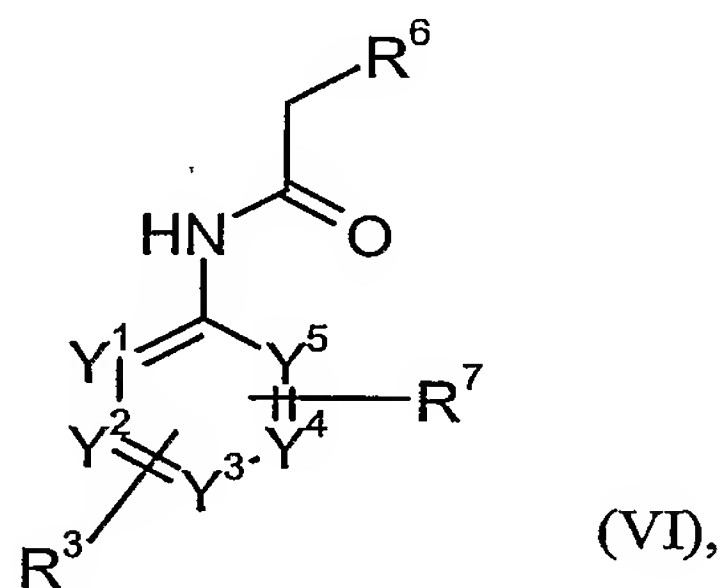
or

[C] compounds of general formula (V)



wherein R^1 , R^2 , R^4 , R^5 and A have the meaning indicated in Claims 1 to 12,

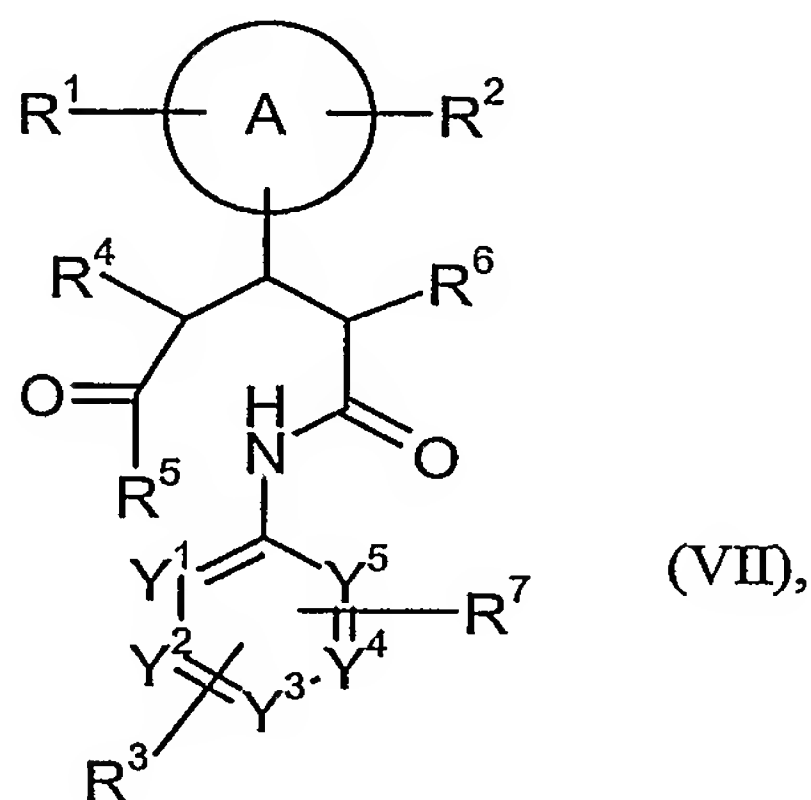
are reacted with compounds of general formula (VI)



5

wherein R^3 , R^6 , R^7 , and Y^1 to Y^5 have the meaning indicated in Claims 1 to 12,

in the presence of *N*-tetrabutylammoniumfluoride to give compounds of general formula (VII)



10

wherein R^1 to R^5 , R^6 , R^7 , A, and Y^1 to Y^5 have the meaning indicated in Claims 1 to 12,

which are then cyclized to compounds of general formula (I) in the presence of an acidic ion exchange resin, such as Amberlyst®-15, and a dehydrating agent, such as magnesium sulfate.

14. The composition containing at least one compound of general formula (I) or (IA), as
5 defined in Claims 1 to 12, and a pharmacologically acceptable diluent.
15. A composition according to Claim 14 for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.
16. The process for the preparation of compositions according to Claim 14 and 15
10 characterized in that the compounds of general formula (I) or (IA), as defined in Claims 1 to 12, together with customary auxiliaries are brought into a suitable application form.
17. Use of the compounds of general formula (I) or (IA), as defined in Claims 1 to 12, for the preparation of medicaments.
18. Use according to Claim 17 for the preparation of medicaments for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.
- 15 19. Use according to Claim 18, wherein the process is chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure.
20. Process for controlling chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure in humans and animals by
20 administration of an neutrophil elastase inhibitory amount of at least one compound according to any of Claims 1 to 12.